

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



549819



(43) International Publication Date  
7 October 2004 (07.10.2004)

PCT

(10) International Publication Number  
**WO 2004/085458 A2**

(51) International Patent Classification<sup>7</sup>:

C07J

(21) International Application Number:

PCT/US2004/008629

(22) International Filing Date: 22 March 2004 (22.03.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/456,716 21 March 2003 (21.03.2003) US

(71) Applicant (for all designated States except US): PHARMACIA CORPORATION [US/US]; Global Patent Department, 700 Chesterfield Parkway West, Chesterfield, Missouri 63017-1732 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): FRANCZYK,  
• Thaddeus, S. II [US/US]; Pfizer Global Research and Development, Chemical R & D, 7000 Portage Road, Mailstop 0200-091-201, Portage, Michigan 49001 (US). WAGNER, Grace, M. [US/US]; 219 Papin Avenue, Webster Groves, MO 63119 (US).

(74) Agents: ROEDEL, John, K., Jr. et al.; Senniger, Powers, Leavitt & Roedel, #1 Metropolitan Square, 16th Floor, St. Louis, Missouri 63102 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 2004/085458 A2

(54) Title: STEROID SPIROLACTONIZATION

(57) Abstract: A steroid comprising a 17-spirolactone or corresponding open lactone structure is obtained by carbonylation of a 17-alkenyl or 17-alkynyl substrate. A 17-alkenyl intermediate may be prepared by semi-hydrogenation of a 17-alkynyl group. Multiple reaction schemes are disclosed for preparation of a 3-keto-9,11-epoxy-17-spirolactone steroid such as eplerenone. Novel intermediates are also disclosed, as well as steps for forming such novel intermediates, or converting them to further intermediates or products, by semi-hydrogenation, carbonylation, 6,7-dehydrogenation, furylation or other transformations or combinations thereof.